In the Claims

The listing of claims will replace all prior versions and listings of claims in the application.

Listing of claims

1. (Original) A compound of the formula (I), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolysable ester thereof,

wherein -N-HET is selected from the structures (Ia) to (If) below :-

$$(Ia)$$

$$(Ib)$$

$$(Ib)$$

$$(Ic)$$

$$N$$

$$N$$

$$R^{1}$$

$$(Id)$$

$$(Ie)$$

$$(If)$$

wherein u and v are independently 0 or 1;

R¹ is (1-4C)alkyl:

or R1 is selected from a substituent from the group

(R¹a) wherein R¹ is halogen, hydroxy, (1-4C)alkoxy, (2-4C)alkenyloxy, (2-4C)alkenyl, (2-4C)alkynyl (optionally substituted on the terminal carbon by CH₂=CH-, di(1-4C)alkylamino, AR2, AR2a or AR2b, wherein AR2, AR2a and AR2b are defined hereinbelow), (3-6C)cycloalkyl, (3-6C)cycloalkenyl, amino, (1-4C)alkylamino, di-(1-4C)alkylamino, (2-4C)alkenylamino, (1-4C)alkyl-S(O)q- (wherein q is 0, 1 or 2), (1-4C)alkylcarbonylamino, ; or R¹ is selected from the group

(R¹b) wherein R¹ is a (1-4C)alkyl group which is substituted by one substituent selected from hydroxy, halo, (1-4C)alkoxy, amino, (1-4C)alkylamino, di(1-4C)alkylamino, cyano, azido, (2-4C)alkenyloxy, (1-4C)alkyl-S(O)q- (wherein q is 0, 1 or 2), AR1-S(O)q- (wherein q is 0, 1

or 2 and AR1 is defined hereinbelow), AR2-S(O)q- (wherein q is 0, 1 or 2), AR2a-S(O)q- (wherein q is 0, 1 or 2), benzyl-S(O)q- (wherein q is 0, 1 or 2), (3-6C)cycloalkyl, (3-6C)cycloalkenyl, (1-4C)alkyl-OCO-NH-, (1-4C)alkyl-NHCO-O-, (1-4C)alkylaminocarbonyl, di(1-4C)alkylaminocarbonyl, $H_2NC(=NH)S$ -;

or R1 is selected from a group of formula (R1c1):-

(R¹c1) a fully saturated 4-membered monocyclic ring containing 1 or 2 heteroatoms independently selected from O, N and S (optionally oxidised), and linked via a ring nitrogen or carbon atom; or

or R1 is selected from the group

(R¹d) cyano, nitro, azido, formyl, (1-4C)alkylcarbonyl, (1-4C)alkoxycarbonyl, H₂NC(O)-, (1-4C)alkylNHC(O)-;

and wherein at each occurrence of an R¹ substituent containing an alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl moiety in (R¹a), (R¹b) or (R¹c1) each such moiety is optionally further substituted on an available carbon atom with one, two, three or more substituents independently selected from F, Cl Br, OH and CN;

Q is selected from Q1 to Q6:-

 R_2 and R_3 are independently selected from H, F, CI, CF₃, OMe, SMe, Me and Et; wherein B₁ is O or S;

wherein T is selected from the groups in (TAa1) to (TAa12):

wherein:

R^{6h} is selected from hydrogen, (1-4C)alkyl, (1-4C)alkoxycarbonyl, (1-4C)alkanoyl, carbamoyl and cyano;

R^{4h} and R^{5h} are independently selected from hydrogen, halo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (1-4C)alkylS(O)_q- (q is 0, 1 or 2), (1-4C)alkanoyl, (1-4C)alkoxycarbonyl, benzyloxy-(1-4C)alkyl, (2-4C)alkanoylamino, -CONRcRv and -NRcRv wherein any (1-4C)alkyl group contained in the preceding values for R^{4h} and R^{5h} is optionally substituted by up to three substituents independently selected from hydroxy (not on C1 of an alkoxy group, and excluding geminal disubstitution), oxo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (2-4C)alkanoyloxy, hydroxyimino, (1-4C)alkoxyimino, (1-4C)alkylS(O)_q- (q is 0, 1 or 2), (1-4C)alkylSO₂-NRv-, (1-4C)alkoxycarbonyl, -CONRcRv, and -NRcRv (not on C1 of an alkoxy group, and excluding geminal disubstitution); wherein Rv is hydrogen or (1-4C)alkyl and Rc is as hereinafter defined;

R^{4h} and R^{5h} may further be independently selected from (1-4C)alkyl {optionally substituted by one, two or three substituents independently selected from hydroxy (excluding geminal disubstitution), oxo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (2-4C)alkanoyloxy, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiry! [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], hydroxyimino, (1-4C)alkoxyimino, (1-4C)alkylS(O)_q- (q is 0, 1 or 2), (1-4C)alkylSO₂-NRv-, (1-4C)alkoxycarbonyl, -CONRcRv, -NRcRv (excluding geminal disubstitution), ORc, and phenyl (optionally substituted by one, two or three substituents independently selected from (1-4C)alkyl, (1-4C)alkoxy and halo)}; wherein Rv is hydrogen or (1-4C)alkyl and Rc is as hereinafter defined; and wherein any (1-4C)alkyl group contained in the immediately preceding optional substituents (when R^{4h} and R^{5h} are independently (1-4C)alkyl) is itself optionally substituted by up to three substituents independently selected from hydroxy (not on C1 of an alkoxy group, and excluding geminal disubstitution), oxo, trifluoromethyl, cyano, nitro, (1-4C)alkoxy, (2-4C)alkanoyloxy, hydroxyimino, (1-4C)alkoxyimino, (1-4C)alkylS(O)_q- (q is 0, 1 or 2), (1-4C)alkylSO2-NRv-, (1-4C)alkoxycarbonyl, -CONRcRv, and -NRcRv (not on C1 of an alkoxy group, and excluding geminal disubstitution); wherein Rv is hydrogen or (1-4C)alkyl and Rc is as hereinafter defined;

or R^{4h} is selected from one of the groups in (TAaa) to (TAab) below, or (where appropriate) one of R^{4h} and R^{5h} is selected from the above list of R^{4h} and R^{5h} values, and the other is selected from one of the groups in (TAaa) to (TAab) below:-

(TAaa) a group of the formula (TAaa1)

(TAaa1)

wherein Z⁰ is hydrogen or (1-4C)alkyl;

 X^0 and Y^0 are independently selected from hydrogen, (1-4C)alkyl, (1-4C)alkoxycarbonyl, halo, cyano, nitro, (1-4C)alkylS(O)q- (q is 0, 1 or 2), RvRwNSO₂-, trifluoromethyl, pentafluoroethyl, (1-4C)alkanoyl and -CONRvRw [wherein Rv is hydrogen or (1-4C)alkyl]; Rw is hydrogen or (1-4C)alkyl];

(TAab) an acetylene of the formula -=-H or -=-(1-4C)alkyl; wherein Rc is selected from groups (Rc1) to (Rc2):-

(Rc1) (1-6C)alkyl {optionally substituted by one or more (1-4C)alkanoyl groups (including geminal disubstitution) and/or optionally monosubstituted by cyano, (1-4C)alkoxy, trifluoromethyl, (1-4C)alkoxycarbonyl, phenyl (optionally substituted as for AR1 defined

hereinafter), (1-4C)alkylS(O)q- (q is 0, 1 or 2); or, on any but the first carbon atom of the (1-6C)alkyl chain, optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy and fluoro, and/or optionally monosubstituted by oxo, -NRvRw [wherein Rv is hydrogen or (1-4C)alkyl; Rw is hydrogen or (1-4C)alkyl], (1-6C)alkanoylamino, (1-4C)alkoxycarbonylamino, N-(1-4C)alkyl-N-(1-6C)alkanoylamino, (1-4C)alkylS(O)_pNH- or (1-4C)alkylS(O)_p-((1-4C)alkyl)N- (p is 1 or 2)}; (Rc2) R¹³CO-, R¹³SO₂- or R¹³CSwherein R¹³ is selected from (Rc2a) to (Rc2d) :hydrogen, (1-4C)alkoxycarbonyl, trifluoromethyl and -NRvRw [wherein Rv is hydrogen or (1-4C)alkyl; Rw is hydrogen or (1-4C)alkyl]; (1-10C)alkyl (optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkanoyl, carboxy, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group selected from phosphonate [phosphono, -P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphinate [-P(OH)2 and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino, (1-4C)alkoxycarbonylamino, N-(1-4C)alkyl-N-(1-6C)alkanoylamino, (1-4C)alkylaminocarbonyl, di((1-4C)alkyl)aminocarbonyl, (1-4C)alkylS(O)_DNH-, (1-4C)alkylS(O)_D-((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O)_DNH-, fluoro(1-4C)alkylS(O)_p((1-4C)alkyl)N-, (1-4C)alkylS(O)_q- [the (1-4C)alkyl group of (1-4C)alkylS(O)_qbeing optionally substituted by one substituent selected from hydroxy, (1-4C)alkoxy, (1-4C)alkanoyl, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], amino, cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, carboxy, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino, (1-4C)alkoxycarbonylamino, N-(1-4C)alkyl-N-(1-6C)alkanoylamino, (1-4C)alkylaminocarbonyl, di((1-4C)alkyl)aminocarbonyl, (1-4C)alkylS(O)_pNH-, (1-4C)alkylS(O)_p-((1-4C)alkyl)N-, and (1-4C)alkylS(O)_q-; R¹⁴C(O)O(1-6C)alkyl wherein R¹⁴ is AR1, AR2, (1-4C)alkylamino (the (1-(Rc2c) 4C)alkyl group being optionally substituted by (1-4C)alkoxycarbonyl or by carboxy),

benzyloxy-(1-4C)alkyl or (1-10C)alkyl {optionally substituted as defined for (Rc2b)};

(Rc2d)

R¹⁵O- wherein R¹⁵ is benzyl, (1-6C)alkyl {optionally substituted as defined for

(Rc2c)} or AR2b;

wherein

AR1 is an optionally substituted phenyl or optionally substituted naphthyl;

AR2 is an optionally substituted 5- or 6-membered, fully unsaturated monocyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom, or a ring nitrogen atom if the ring is not thereby quaternised;

AR2a is a partially hydrogenated version of AR2, linked via a ring carbon atom or linked via a ring nitrogen atom if the ring is not thereby quaternised;

AR2b is a fully hydrogenated version of AR2, linked via a ring carbon atom or linked via a ring nitrogen atom.

- 2. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in Claim 1, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof <u>claim 1</u>, wherein Q is Q1.
- 3. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in Claim 1 or Claim 2, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof claim 1, wherein -N-HET is 1,2,3-triazol-1-yl or tetrazol-2-yl.
- 4. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in any one of Claims 1 to 3, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof claim 1, wherein R² and R³ are independently hydrogen or fluoro.
- 5. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in any one of Claims 1-to 4, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof <u>claim 1</u>, wherein T is selected from TAa1, TAa5, TAa7 and TAa8.
- 6. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in any one of Claims 1 to 5, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof claim 1, wherein R¹ is selected from R¹a to R¹d:
- 7. (Currently Amended) A <u>The</u> compound of formula (I) as claimed in any one of Claims 1 to 6 claim 1, which is a compound of formula (IB)or-a-pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof,

wherein -N-HET is 1,2,3-triazol-1-yl or tetrazol-2-yl; R¹ is (1-4C)alkyl; R² and R³ are independently hydrogen or fluoro; and T is selected from TAa1, TAa5, TAa7 and TAa8.

- 8. CANCELLED.
- 9 (Currently Amended) A method for producing an antibacterial effect in a warm blooded animal which comprises administering to said animal an effective amount of a compound of the invention as claimed in any one of Claims 1 to 7, or a pharmaceutically-acceptable salt, or pro-drug or in-vivo hydrolysable ester thereof claim 1.
- 10. CANCELLED.
- 11. CANCELLED.
- 12. (Currently Amended) A pharmaceutical composition which comprises a compound of the invention as claimed in any one of Claims 1 to 7, or a pharmaceutically-acceptable salt or pro-drug or an in-vivo hydrolysable ester thereof claim 1, and a pharmaceutically-acceptable diluent or carrier.
- 13. (Original) A process for the preparation of a compound of formula (I) as claimed in Claim 1 or pharmaceutically acceptable salts or pro-drug or in-vivo hydrolysable esters thereof, which process comprises one of processes (a) to (g):
- (a) by modifying a substituent in, or introducing a new substituent into, the substituent group Q of another compound of formula (I); or
- (b) by reaction of a compound of formula (II):

$$Q-N$$
 O
 Y

wherein Y is a displaceable group with a compound of the formula (III):

-N-HET

(III)

wherein –N-HET (of formula (Ia) to (If), already substituted and optionally protected) is HN-HET (free-base form) or ⁻N-HET anion formed from the free base form; or

(c) by reaction of a compound of the formula (IV):

Q-Z

(IV)

wherein Z is an isocyanate, amine or urethane group with an epoxide of the formula (V) wherein the epoxide group serves as a leaving group at the terminal C-atom and as a protected hydroxy group at the internal C-atom; or with a related compound of formula (VI) where

the hydroxy group at the internal C-atom is protected and where the leaving group Y at the terminal C-atom is a leaving group;

or

(d) (i) by coupling, using catalysis by transition metals, of a compound of formula (VII):

wherein Y' is a group –N-HET as hereinbefore defined, X is a replaceable substituent; with a compound of the formula (VIII), or an analogue thereof, which is suitable to give a T substituent as defined by (TAa1–TAa12) in which the link is via an sp² carbon atom (D = CH=C-Lg where Lg is a leaving group; or as in the case of reactions carried out under Heck reaction conditions Lg may also be hydrogen)

$$T_1$$
 T_2
(VIII)

where T_1 and T_2 may be the same or different and comprise a precursor to a ring of type T as hereinbefore defined, or T_1 and T_2 may together with D form a ring of type T as hereinbefore defined;

(d) (ii) by coupling, using catalysis by transition metals, of a compound of formula (VIIA):

wherein Y' is a group HET as hereinbefore defined, with a compound

where X is a replaceable substituent;

- (e) Where N-HET is 1,2,3-triazole by cycloaddition via the azide (wherein Y in (II) is azide), with a substituted acetylene or masked acetylene;
- (f) Where N-HET is 1,2,3-triazole by synthesis with a compound of formula (IX), namely the arenesulfonylhydrazone of acetaldehyde, by reaction of a compound of formula (II) where $Y = NH_2$ (primary amine);

Q-N O
$$H^{N}$$
 H^{N} H^{N}

(g) Where N-HET is 1,2,3-triazole by cycloaddition via the azide (wherein Y in (II) is azide) with acetylene using Cu(I) catalysis in to give the N-1,2,3-triazole;

$$Q-N = O \\ O \\ N_3$$
(II : Y = N₃)

and thereafter if necessary:

- i) removing any protecting groups;
- ii) forming a pro-drug (for example an in-vivo hydrolysable ester); and/or
- iii) forming a pharmaceutically-acceptable salt.
- 14. (NEW) A compound which is:
- (5R)-3-[3-Fluoro-4-(3-methylisoxazol-5-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one;
- (5R)-3-(4-Isoxazol-3-ylphenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one; or
- (5R)-3-[4-(1-Benzyl-1H-1,2,3-triazol-4-yl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one.